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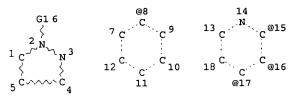
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L3 STR



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STEREO ATTRIBUTES: NONE

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SEARCH TIME: 00.00.02

239539 ANSWERS

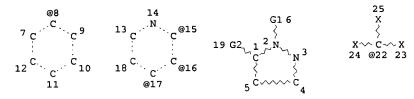
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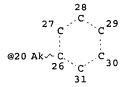
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L11





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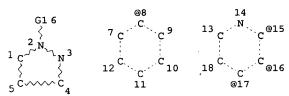
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SEARCH TIME: 00.00.03

59980 ANSWERS

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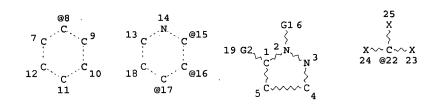
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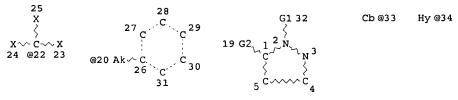
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GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

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SEARCH TIME: 00.00.01

30343 ANSWERS

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FILE COVERS 1907 - 14 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 13 Sep 2007 (20070913/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs fhitstr l19 1-2

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L19 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
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- 2004:841775 HCAPLUS AN
- 141:350163 DN
- Preparation of arylpyrazoles as serotonin 5-HT2A and 5-HT2C receptor TI antagonists
- ΤN Schiemann, Kai; Ackermann, Karl-August; Arlt, Michael; Finsinger, Dirk; Schadt, Oliver; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph
- PΑ Merck Patent GmbH, Germany
- so Ger. Offen., 102 pp.
- CODEN: GWXXBX
- DT Patent
- German LA

FAN.C	NT 1																	
1									APPLICATION NO.									
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GI																		

### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Preparation of title compds. I [X = CH, N; R1 = H, halo, (CH2)nHet, etc.; R2 = (CH2) nHet, (CH2) nAr, cycloalkyl, etc.; R3, R4 = H, (CH2) nCOHet, CHO, etc.; n = 0-5; Ar = (un) substituted Ph; Het = (un) substituted monoarom., bicyclic-heterocycle] and their pharmaceutically acceptable salts were prepared For example, sodium triacetoxyborohydride meditated reductive amination of 1-methyl-piperazine and aldehyde II, e.g., prepared from 2-fluoro-α, γ-dioxo-benzenebutanoic Et ester in 4-steps, afforded the dihydrochloride salt of arylpyrazole III. In 5-HT2A receptor

binding assays, 167-examples of compds. I exhibited IC50 values ranging from 0.015-4.7x10-7M. Compds. I are claimed suitable as ligands of 5-HT receptors.

IT 508219-09-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrazoles as serotonin 5-HT2A and 5-HT2C receptor antagonists)

RN 508219-09-8 HCAPLUS

CN Piperazine, 1-[[1-[1.1'-biphenyl]-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

L19 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:841772 HCAPLUS

DN 141:332186

TI Preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists.

IN Schadt, Oliver; Arlt, Michael; Finsinger, Dirk; Schiemann, Kai; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph

PA Merck Patent GmbH, Germany

SO Ger. Offen., 78 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1 DATE DATE APPLICATION NO. PATENT NO. KIND \_ \_ \_ \_ \_\_\_\_\_ \_\_\_\_\_\_ PΤ DE--10315569 A1 20041014 2003DE-1015569 20030405 2004AU-0228124 20040310 AU2004228124 20041021 A1 CA---2521227 A1 20041021 2004CA-2521227 20040310 WO2004089932 A1 20041021 2004WO-EP02453 20040310 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20040310 2004EP-0718926 EP---1611122 A1 20060104 EP---1611122 20070613 B1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK BR2004008986 20060328 2004BR-0008986 20040310 Α CN---1768052 20060503 CN 2004-80008603 20040310 Α 20060928 2006JP-0504620 20040310 JP2006522039 Т 20040310 20070715 2004AT-0718926 AT----364601 т US2007010531 A1 20070111 2005US-0552064 20051005

PRAI 2003DE-1015569 20030405 2004WO-EP02453 20040310

MARPAT 141:332186 OS

GI

$$R^{1}$$
  $X$   $N$   $R^{4}$   $R^{3}$ 

Title compds. [I; R1 = H, A, halo, (CH2) nAr, cycloalkyl, CF3, NO2, cyano, AΒ C(NH)NOH, OCF3; R2 = (CH2)nHet, (CH2)nAr, cycloalkyl, CF3; R3, R4 = H, (CH2)nCO2R5, (CH2)nCOHet, CHO, (CH2)nOR5, (CH2)nHet, CH:NOA, etc.; R5 = H, A; A = alkyl, alkoxy, alkenyl, alkoxyalkyl; Ar = (substituted) Ph; Het = (aromatic) mono- or bicyclic heterocyclyl, heteroatom-containing organic residue; X = N, CH; with provisos], were prepared Thus, [1-(4'-fluorobiphen-4-yl)-5furan-2-yl-1H-pyrazol-4-ylmethyl] methyl (1-methylpyrrolidin-3-yl) amine showed 5-HT2A activity with IC50 = 5.14E-10. 380652-94-8 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of arylpyrazoles as serotonin 5-HT2A and/or 5-HT2C receptor antagonists) 380652-94-8 HCAPLUS RN

Phenol, 2-[5-(2-furanyl)-3-methyl-1-phenyl-1H-pyrazol-4-yl]-, acetate CN (ester) (9CI) (CA INDEX NAME)

# => d bib abs hitstr 119 3

L19 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN

2003:279562 HCAPLUS AΝ

DN 138:304276

Preparation of pyrazoles as glycine transporter protein inhibitors for the TI treatment of neurodegenerative diseases

PΆ Merck Patent G.m.b.H., Germany; Yamanouchi Pharmaceutical Co.

so Ger. Offen., 62 pp.

CODEN: GWXXBX

DT Patent

T.A German

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		AU2002342675					1 20030422 2002AU-0342675									20020911		

PRAI 2001DE-1049370 A 20011006 2002WO-EP10172 W 20020911 OS MARPAT 138:304276

GI

AB Title compds. I [X = CH, N; R1 = H, A, halo, etc.; R2 = Ph, p-chlorophenyl; R3, R4 = H, (CH2)nCO2R5, CHO, etc.; R5 = H, A; A = alkyl, alkenyl, alkoxyalkyl, etc.; n = 0-5] and their pharmaceutically acceptable salts were prepared For example, condensation of enamine II e.g., prepared from 1,1-dimethoxy-N,N-dimethylmethanamine and 2-fluoro-β-oxobenzenepropanoic acid Et ester, and aryl hydrazine III, e.g., prepared from 2-chloro-5-nitropyridine in 3-steps, provided pyrazole IV (no yield provided). In glycine transporter protein inhibition studies, approx. 71-examples of compds. I exhibited IC50 values ranging from 0.15 - 8.7 μM, e.g., the IC50 value of pyrazole IV = 2.5 μM. Compds. I are claimed useful for the treatment of schizophrenia, depression, dementia, etc.

508219-09-8P 508219-31-6P, 4-[2-[1-Biphenyl-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]-ethyl]morpholine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazoles as glycine transporter protein inhibitors for the treatment of neurodegenerative diseases)

RN 508219-09-8 HCAPLUS

Piperazine, 1-[[1-[1,1'-biphenyl]-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 508219-31-6 HCAPLUS
CN Morpholine, 4-[2-[1-[1,1'-biphenyl]-4-yl-5-(2-fluorophenyl)-1H-pyrazol-4-yl]ethyl]- (9CI) (CA INDEX NAME)

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FILE COVERS 1907 - 14 Sep 2007 VOL 147 ISS 13 FILE LAST UPDATED: 13 Sep 2007 (20070913/ED)

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L36 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

2001:851793 HCAPLUS AN

DN 136:5986

Preparation of azole inhibitors of cytokine production TT

Bamaung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.; Gubbins, Earl J.; Luly, IN Jay R.; Tu, Noah P.; Madar, David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun; Sciotti, Richard J.; Wagenaar, Frank L.

PΑ USA

U.S. Pat. Appl. Publ., 124 pp. so

CODEN: USXXCO

DT Patent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ----19990408 US2001044445 20011122 1999US-0289155 PΤ A1 19990408

PRAI 1999US-0289155

os MARPAT 136:5986 GI

The title compds. [I; R1, R3 = H, aryl, perfluoroalkyl, etc.; Z = N, C; R2 AB is absent or = H, alkyl, cycloalkyl, etc.; Q = (hetero)aryl (when Q = Ph, the Ph is 2-, 3-, or 4-substituted by E relative to the position of attachment of the pyrazole or 1,2,4-triazole ring to the Ph ring); R4, R5 = H, alkyl, haloalkyl, etc.; E = NO2, NH2, etc.], useful for inhibiting cytokine (Interleukin-2, Interleukin-4, or Interleukin-5) production and cellular proliferation in stimulated human T cell lines or human peripheral blood mononuclear cells (biol. data given) and therefore have utility in the treatment of diseases that are prevented by or ameliorated with cytokine inhibitors, were prepared General procedures for preparation of compds. I were described. Thus, the title compound II was prepared 245748-05-4P 245748-10-1P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azole inhibitors of cytokine production)

245748-05-4 HCAPLUS RN

Pyridine, 2-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]-5-nitro- (9CI) (CA CN INDEX NAME)

RN 245748-10-1 HCAPLUS

Pyridine, 5-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]-2-nitro- (9CI) (CA CN

INDEX NAME)

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L36 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
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DN
     131:271873
     Preparation of pyrazoles and triazoles as inhibitors of cytokine
TI
     production
     Ba Maung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.; Gubbins, Earl J.; Luly,
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GI
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AB Title compds. [I; R1 = H, NH2, OCONH2, CN, NO2, OH, CO2H, F, Cl, Br, I, aryl, perfluoroalkyl, hetercyclyloxy, hetercyclylsulfonyl; R2 = H, alkyl cycloalkyl, alkylcarbonyl, hetercocyclyl; R3 = H, NH2, OCONH2, CN, NO2, OH, CO2H, F, Cl, Br, I, aryl, perfluoroalkyl, hetercyclyloxy, hetercyclylsulfonyl; R4 and R5 are independently selected from H, alkyl, alkoxy, halo, perfluoroalkyl, CN, hetercycle; E = LB; B = alkyl, alkenyl, alkynyl; L = N:N, N:CH, CH:N, ON:CH, O, CO, NH, NHCO, NHSO2, NHCH2,

alkenylene; Q = benzene ring with 2, 3, or 4 substituted E, heterocycle; Z = C; R2Z = N], E, Z isomers, stereoisomers, pharmaceutical acceptable salts, and prodrugs are prepared and tested as cytokine production inhibitors and are useful for treating diseases that are prevented by or ameliorated with Interleukin-2, Interleukin-4, or Interleukin-5 production inhibitors. Thus, the title compound II was prepared

245748-05-4P 245748-10-1P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazoles and triazoles as inhibitors of cytokine production)

RN 245748-05-4 HCAPLUS

Pyridine, 2-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]-5-nitro- (9CI) (CA INDEX NAME)

245748-10-1 HCAPLUS RN CN

Pyridine, 5-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]-2-nitro- (9CI) (CA INDEX NAME)

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 10 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> b uspatall FILE 'USPATFULL' ENTERED AT 18:47:05 ON 14 SEP 2007

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FILE 'USPAT2' ENTERED AT 18:47:05 ON 14 SEP 2007 CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr 138 tot

L38 ANSWER 1 OF 1 USPATFULL on STN

2001:212449 USPATFULL ΑN

AZOLE INHIBITORS OF CYTOKINE PRODUCTION TI

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US-20010044445 A1 20011122 ΡI

1999US-000289155 A1 19990408 (9) ΑI

DT Utility

APPLICATION FS

ABBOTT LABORATORIES, DEPT. 377 - AP6D-2, 100 ABBOTT PARK ROAD, ABBOTT LREP

PARK, IL, 60064-6050 Number of Claims: 44 CLMN

Exemplary Claim: 1 ECL

DRWN No Drawings

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LN.CNT 9955
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds having the formula ##STR1## AB

> are useful for treating diseases that are prevented by or ameliorated with Interleukin-2, Interleukin-4, or Interleukin-5 production inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

245748-05-4P 245748-10-1P

(preparation of azole inhibitors of cytokine production)

RN245748-05-4 USPATFULL

Pyridine, 2-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]-5-nitro- (9CI) (CA CNINDEX NAME)

245748-10-1 USPATFULL RN

Pyridine, 5-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]-2-nitro- (9CI) (CA CN INDEX NAME)

=> d his

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L3 STR L1

50 L3 L4

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174 SEA L7

L8 170 L5 AND L8 L9

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L10

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SAV TEM L13 J064C1/A

STR L11 L14

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SAV TEM L5 J064C1B/A

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L31		'REGISTRY' ENTERED AT 18:20:11 ON 14 SEP 2007 4795 L30 AND 46.156.30/RID SAV TEM L31 J064ClD/A
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L36	FILE	'HCAPLUS' ENTERED AT 18:45:53 ON 14 SEP 2007 2 L35
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